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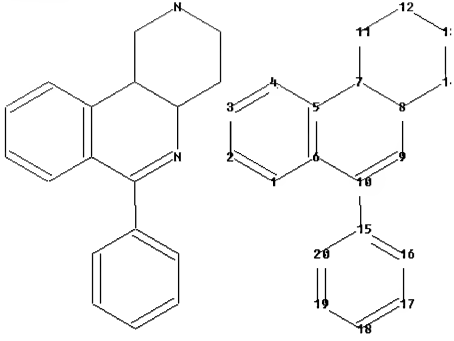

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L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of phenyl benzonaphthyridine derivatives as PDE3/4 inhibitors
ACCESSION NUMBER: 2005:1049863 CAPLUS Full-text
DOCUMENT NUMBER: 143:347067
TITLE: Preparation of phenyl benzonaphthyridine derivatives
as PDE3/4 inhibitors
INVENTOR(S): Kautz, Ulrich; Hatzelmann, Armin; Barsig, Johannes;
Marx, Degenhard; Kley, Hans-Peter; Flockerzi, Dieter
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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CA 2559200	A1	20050929	CA 2005-2559200	20050316
EP 1732925	A1	20061220	EP 2005-717070	20050316
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				

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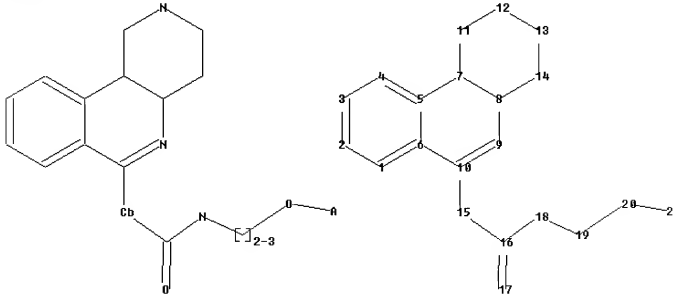


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TI   Preparation of phenylbenzonaphthyridine derivatives as PDE3/4
inhibitors
ACCESSION NUMBER:         2004:220332  HCAPLUS  Full-text
DOCUMENT NUMBER:          140:270839
TITLE:                    Preparation of phenylbenzonaphthyridine
derivatives as
                          PDE3/4 inhibitors
INVENTOR(S):              Flockerzi, Dieter; Hummel, Rolf-peter;
Reutter, Felix;
                          Flockerzi, Dieter; Hummel, Rolf-peter;
Reutter, Felix
PATENT ASSIGNEE(S):       Altana Pharma Ag, Germany
SOURCE:                   PCT Int. Appl., 38 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

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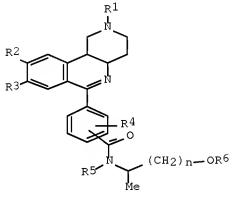

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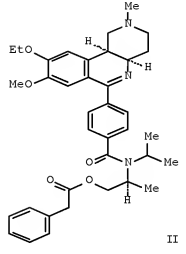

II

L10 1 S L8 NOT L9

AB Title compds. I [R1 = alkyl; R2 and R3 independently = OH, alkoxy, cycloalkoxy, etc. or R2 and R3 together are alkylenedioxy group; R4 = H, halo, NO2, etc.; R5 = H, alkyl, phenylalkyl, etc.; R6 = alkyl, phenylalkyl or (un)substituted arylalkyl; R7 = alkyl and n = 1-2 or R7 = H and n = 1-3] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of PDE3/4. Thus, e.g., II was prepared by amidation of 4-((4aR,10bS)-9-ethoxy-8-methoxy-2-methyl-1,2,3,4,4a,10b-hexahydrobenzo[c][1,6]naphthyridin-6-yl)benzoic acid (preparation given) with 3-isopropoxypropyl-amine. The inhibitory activity of I towards PDE3 and PDE4 was evaluated using radioactive enzyme assays and it was revealed that compds. of the invention possessed -log IC50 values in the range of 7.8 up to 9.9 mol/L for PDE4 and in the range of 5.8 up to 7.8 mol/L for PDE3. I as inhibitor of PDE3/4 should prove useful in the treatment of respiratory disorders and dermatoses. Pharmaceutical compns. comprising I are disclosed.

ACCESSION NUMBER: 2005:1049863 HCAPLUS Full-text
DOCUMENT NUMBER: 143:347067

TITLE: Preparation of phenyl benzonaphthyridine derivatives as PDE3/4 inhibitors

INVENTOR(S): Kautz, Ulrich; Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Flockerzi, Dieter

PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005090345	A1	20050929	WO 2005-EP51204	20050316 <--
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PRIORITY APPLN. INFO.: EP 2004-101101 A

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WO 2005-EP51204 W
20050316
OTHER SOURCE(S): CASREACT 143:347067; MARPAT 143:347067

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L13 0 S L6

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L15 47 S L14 AND PHOSPHODIESTERASE?
L16 41 S L15 AND (PY<2004 OR AY<2004 OR PRY<2004)
L17 3 S L15 AND (RESPIRATION OR ASTHMA OR BRONCHITIS OR COPD)
L18 1 S L17 AND (PY<2004 OR AY<2004 OR PRY<2004)

L18 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of phosphodiesterase-inhibiting dihydrobenzofurancarboxamides
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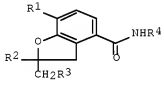

I

AB The title compds. [I; R1 = (un)substituted alkoxy, cycloalkoxy, PhCH2O, etc.; R2 = alkyl; R3 = H, alkyl; R4 = (un)substituted Ph, pyridyl, etc.], which are potent phosphodiesterase (PDE) inhibitors, useful for the treatment of respiratory diseases [e.g., asthma (no data)] and dermatoses (no data), are prepared Thus, 4-amino-3,5-dichloropyridine was reacted with NaH and 2,3-dihydro-2,2-dimethyl-7-methoxy-4- benzofurancarboxylic acid, producing N-3,5-dichloro-4-pyridyl 2,3-dihydro-2,2-dimethyl-7-methoxy-4-benzofurancarboxamide, m.p. 140-142°, which demonstrated a log IC50 against PDE-IV of 8.47.
IC ICM C07D401-12
ICS C07D307-94; C07D307-79; A61K031-34; A61K031-44
CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1
ST dihydrobenzofurancarboxamide prepn inhibitor phosphodiesterase;

antiasthmatic prepn phosphodiesterase inhibitor
dihydrobenzofurancarboxamide
IT Skin, disease
(dermatoses; phosphodiesterase-inhibiting
dihydrobenzofurancarboxamides for treatment of)
IT Respiratory tract
(disease, phosphodiesterase-inhibiting
dihydrobenzofurancarboxamides for treatment of)
IT 177429-18-4P 177429-19-5P 177429-20-8P 177429-21-9P
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177429-23-1P 177429-24-2P 177429-58-2P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SPN (Synthetic preparation); THU
(Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phosphodiesterase-inhibiting

L18 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of phosphodiesterase-inhibiting
dihydrobenzofurancarboxamides
ACCESSION NUMBER: 1996:345409 HCAPLUS Full-text
DOCUMENT NUMBER: 125:10630
ORIGINAL REFERENCE NO.: 125:2337a,2340a
TITLE: Preparation of phosphodiesterase-inhibiting
dihydrobenzofurancarboxamides
INVENTOR(S): Amschler, Hermann; Flockerzi, Dieter;
Gutterer, Beate; Hatzelmann, Armin; Schudt,
Christian;
Beume, Rolf; Haefner, Dietrich; Kley, Hans-
Peter;
Ulrich, Wolf-Ruediger; Thibaut, Ulrich
PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik Gmbh,
Germany
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9603399	A1	19960208	WO 1995-EP2841	19950719 <--
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